TITLE: Preparation of 1,3-diaryl-2-pyridin-2-yl-3-(pyridin-2-ylamino)propanols and amino acid and peptide derivatives thereof as antihyperlipidemics.

INVENTOR(S): Kirsch, Reinhard; Enhsen, Alfons; Glombik, Heiner; Kramer, Werner; Falk, Eugen

PATENT ASSIGNEE(S): Aventis Pharma Deutschland GmbH, Germany

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CODEN: PIXXD2

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LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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WO 2000020393	A1	20000413	WO 1999-EP6933	19990918
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
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EP 1117642	B1	20040526		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
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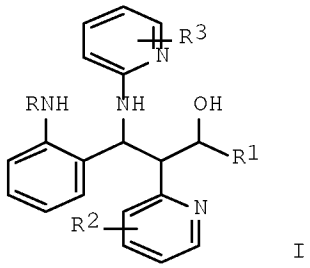
PRIORITY APPLN. INFO.:

DE 1998-19845406	A	19981002
WO 1999-EP6933	W	19990918

OTHER SOURCE(S): MARPAT 132:279546

ED Entered STN: 14 Apr 2000

GI



I

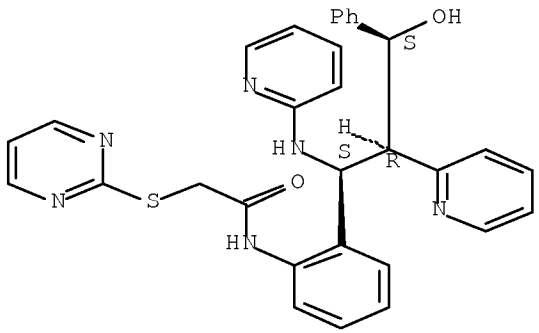
AB Title compds. [I; R = Eq(A4)p(A3)o(A2)n(A1)mZl; Z = NHACO, COACO, COQCO; A = alkylene; Q = phenylene; A1-A4 = (protected) amino acid residue; E = SO2R4, COR4; R1 = (substituted) Ph, thiazolyl, oxazolyl, thienyl, furyl, pyridyl, pyrimidinyl; R2 = H, OH, CH2OH, OMe; R3 = H, F, Me, OMe; R4 = alkyl, AR5, COAR5, etc.; R5 = CO2R6, COR6, (substituted) alkyl, Ph, naphthyl, thienyl, furyl, pyridyl, pyrimidinyl, chromanyl, thiazolyl, etc.; R6 = H, alkyl; l, m, n, o, p = 0, 1; l+m+n+o+p ≥1], were prepared Thus, I (R = H; R1 = Ph; R2, R3 = H) (preparation given) was treated with FMOC-D-Lys(BOC)-OH, TOTU, and Et3N in DMF followed by deprotection with piperidine in DMF to give 63.5% I [R = H-D-Lys(BOC); R1 = Ph; R2, R3 = H]. The latter was treated as above to give 43% I [R = H-D-Lys(BOC)-D-Lys(BOC); R1 = Ph; R2, R3 = H]. I inhibited [3H]-taurocholate uptake in rabbit ileum prepns. with quotients of IC50Na values of taurochenodesoxycholate and I of 0.16-1.26.

IT 263876-87-5P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-diaryl-2-pyridin-2-yl-3-(pyridin-2-ylamino)propanols and
amino acid and peptide derivs. thereof as antihyperlipidemics)

RN 263876-87-5 HCAPLUS

CN Acetamide, N-[2-[(1S,2R,3S)-3-hydroxy-3-phenyl-2-(2-pyridinyl)-1-(2-pyridinylamino)propyl]phenyl]-2-(2-pyrimidinylthio)- (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07D213-74
ICS C07D401-14; C07D409-14; C07D405-14; C07D417-14; C07D471-04; C07D473-04; C07D413-14; A61K031-4427

CC 34-3 (Amino Acids, Peptides, and Proteins)
Section cross-reference(s): 1, 33
IT Amino acids, preparation
Peptides, preparation
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-diaryl-2-pyridin-2-yl-3-(pyridin-2-ylamino)propanols and
amino acid and peptide derivs. thereof as antihyperlipidemics)
IT 263254-95-1P 263876-58-0P 263876-59-1P 263876-60-4P 263876-61-5P
263876-62-6P 263876-63-7P 263876-64-8P 263876-65-9P 263876-66-0P
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263908-15-2P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,3-diaryl-2-pyridin-2-yl-3-(pyridin-2-ylamino)propanols and
amino acid and peptide derivs. thereof as antihyperlipidemics)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT